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NEWS 2 JUL 28 CA/CAplus patent coverage enhanced
NEWS 3 JUL 28 EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5 JUL 28 STN Viewer performance improved
NEWS 6 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7 AUG 13 CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 8 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 9 AUG 15 CAplus currency for Korean patents enhanced
NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11 SEP 18 Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 12 SEP 25 CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of pre-registered REACH substances

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STRUCTURE FILE UPDATES: 16 NOV 2008 HIGHEST RN 1072892-84-2
DICTIONARY FILE UPDATES: 16 NOV 2008 HIGHEST RN 1072892-84-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

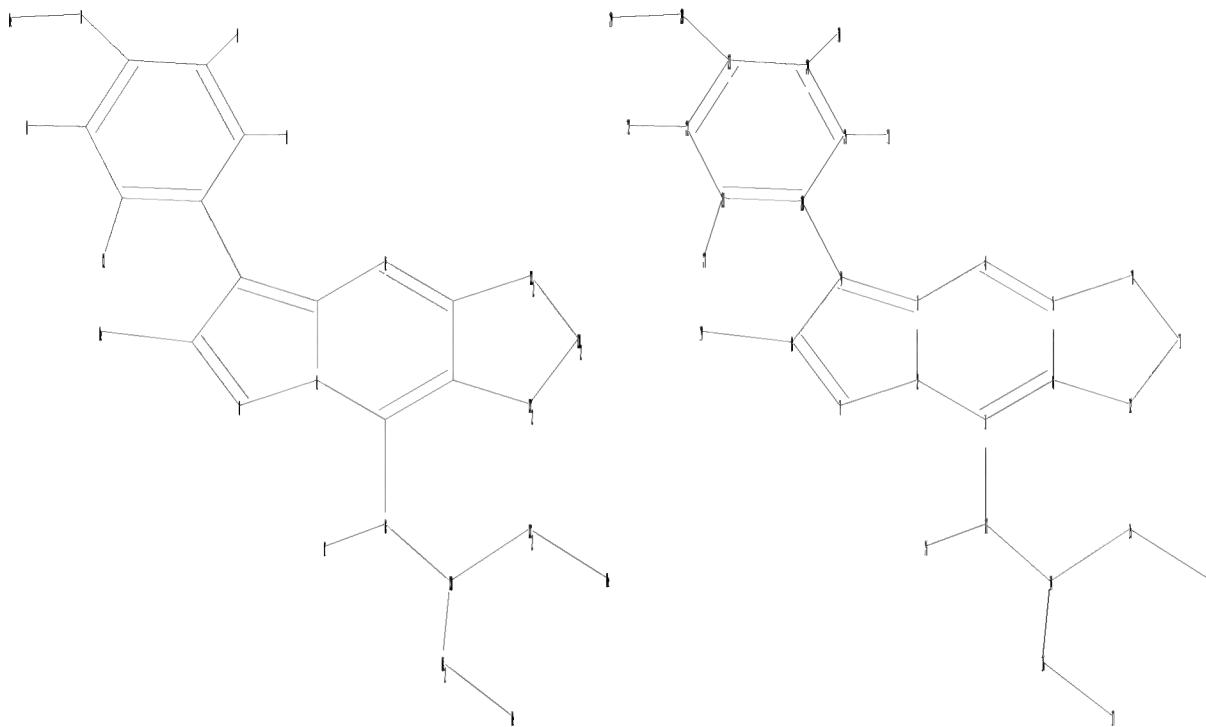
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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chain nodes :

13 14 15 16 17 18 19 26 27 28 29 30 31 32

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 20 21 22 23 24 25

chain bonds :

1-13 8-19 9-20 13-14 13-26 14-15 14-16 15-18 16-17 21-27 22-32 23-28

24-30 25-31 28-29

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 5-10 6-12 7-8 8-9 10-11 11-12 20-21

20-25 21-22 22-23 23-24 24-25

exact/norm bonds :

1-2 1-6 1-13 2-3 2-7 3-4 3-9 4-5 5-6 5-10 6-12 7-8 8-9 10-11 11-12

13-14 23-28

exact bonds :

8-19 9-20 13-26 14-15 14-16 15-18 16-17 21-27 22-32 24-30 25-31 28-29

normalized bonds :

20-21 20-25 21-22 22-23 23-24 24-25

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS

28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS

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L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 20:46:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 452 TO 1228
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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FULL SEARCH INITIATED 20:46:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 839 TO ITERATE

100.0% PROCESSED 839 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L3 4 SEA SSS FUL L1

=> fil cap1
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 20:46:29 ON 17 NOV 2008
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FILE COVERS 1907 - 17 Nov 2008 VOL 149 ISS 21

10561214

FILE LAST UPDATED: 16 Nov 2008 (20081116/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

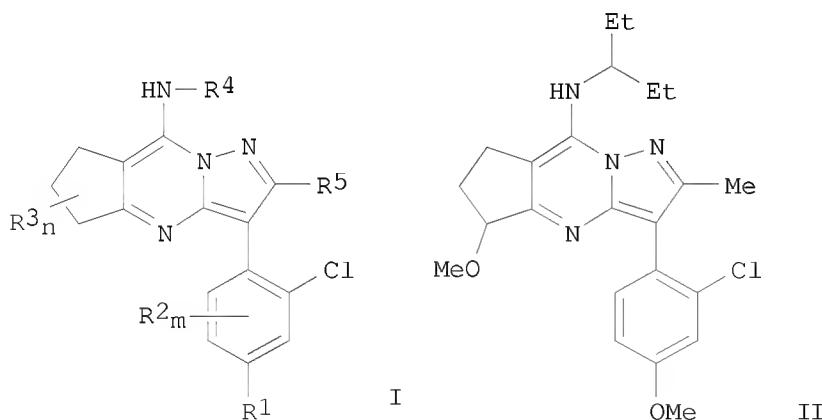
<http://www.cas.org/legal/infopolicy.html>

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L4 3 L3

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588981 CAPLUS
DOCUMENT NUMBER: 143:115565
TITLE: Preparation of tricyclic heterocyclic compound as CRF antagonist
INVENTOR(S): Nunoya, Kenichi; Matsumura, Naoya; Sugioka, Makiko;
Moriguchi, Hideki; Katsumata, Seishi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------|-----------------|------------|
| WO 2005061508 | A1 | 20050707 | WO 2004-JP19658 | 20041221 |
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | JP 2003-425778 | A 20031222 |
| OTHER SOURCE(S): | | MARPAT 143:115565 | | |
| GI | | | | |



AB Title compds. represented by the formula I [wherein R1, R2 = independently (protected) OH; R3, R = independently (protected) OH or oxo; R4 = R-substituted Et₂CH; R5 = (un)oxidized Me; m, n = independently 0-3; and pharmaceutically acceptable salts, solvates, N-oxides, and pro-drugs thereof] were prepared as CRF antagonist. For example, II was given in a

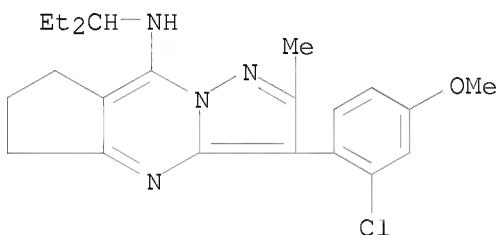
3-step synthesis starting from 4-(2-chloro-4-methoxyphenyl)-3-methyl-1H-pyrazole-5-amine. I were tested for binding activity and antagonistic activity of human CRF 1 with IC₅₀ value of less than 1μM, resp. Thus, I and their pharmaceutical compns. are useful as CRF antagonist for the prevention and/or treatment of psychoneurotic diseases or digestive diseases (no data).

IT 441060-02-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclopenta[d]pyrazolo[1,5-a]pyrimidine derivs. as CRF 1 antagonist)

RN 441060-02-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine,
3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (CA INDEX NAME)



REFERENCE COUNT:

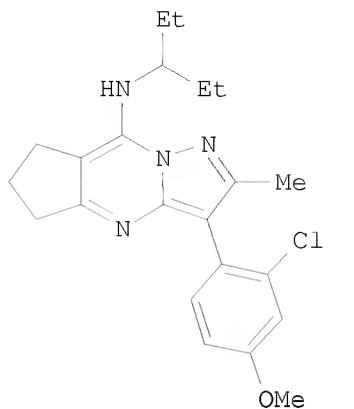
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:1154717 CAPLUS
 DOCUMENT NUMBER: 142:93846
 TITLE: Preparation of pyrazolopyrimidine derivatives as CRF antagonists
 INVENTOR(S): Hasegawa, Tomoyuki; Matsui, Toshiaki; Araki, Hiroshi;
 Saito, Tetsuji; Obitsu, Tetsuo; Okamoto, Masaki;
 Gemba, Yuichi; Mikami, Yutaka
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2004113344 | A1 | 20041229 | WO 2004-JP9263 | 20040624 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| AU 2004249629 | A1 | 20041229 | AU 2004-249629 | 20040624 |
| CA 2529561 | A1 | 20041229 | CA 2004-2529561 | 20040624 |
| EP 1637531 | A1 | 20060322 | EP 2004-746732 | 20040624 |
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IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| BR 2004011923 | A | 20060815 | BR 2004-11923 | 20040624 |
| CN 1842530 | A | 20061004 | CN 2004-80024365 | 20040624 |
| MX 2005PA13917 | A | 20060309 | MX 2005-PA13917 | 20051219 |
| NO 2005006093 | A | 20060324 | NO 2005-6093 | 20051221 |
| IN 2005CN03513 | A | 20070831 | IN 2005-CN3513 | 20051223 |
| PRIORITY APPLN. INFO.: | | | JP 2003-181908 | A 20030625 |
| | | | WO 2004-JP9263 | W 20040624 |

OTHER SOURCE(S): MARPAT 142:93846
 GI



AB The title compds., such as 8-(3-Pentylamino)-2-methyl-3-(2-chloro-4-methoxy-phenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]-pyrimidine methanesulfonic acid salt (I•MeSO₃H), are prepared as corticotropin-releasing factor (CRF) receptor antagonists. I•MeSO₃H showed antagonistic activity with IC₅₀ of <1 μM against human CRF receptor. Formulations containing I•MeSO₃H as an active ingredient were also described.

IT 817636-92-3P 817636-93-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolopyrimidine derivs. as CRF antagonists)

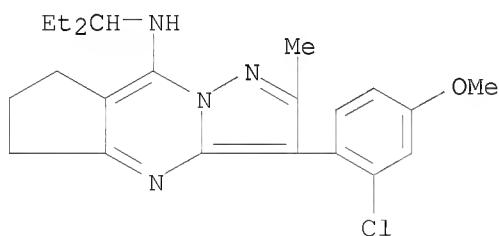
RN 817636-92-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine,
3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-,
methanesulfonate (1:1) (CA INDEX NAME)

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CRN 441060-02-2

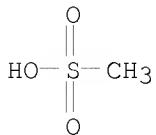
CMF C22 H27 Cl N4 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



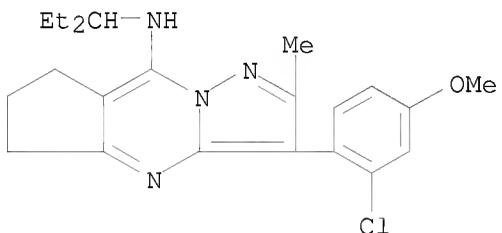
RN 817636-93-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine,
3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 441060-02-2

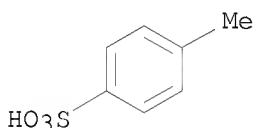
CMF C22 H27 Cl N4 O



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



IT 441060-02-2P

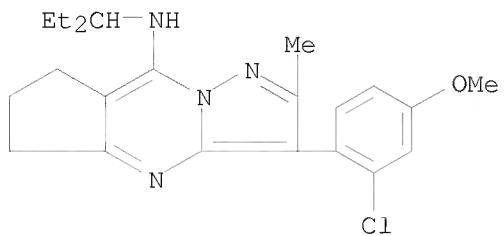
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of pyrazolopyrimidine derivs. as CRF antagonists)

RN 441060-02-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine,
3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (CA
INDEX NAME)

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REFERENCE COUNT:

6

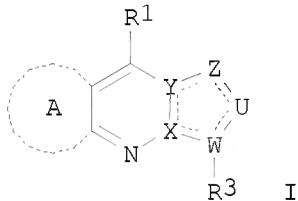
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:521741 CAPLUS
 DOCUMENT NUMBER: 137:93768
 TITLE: Preparation of tricyclic heterocyclic derivative compounds as antagonists of corticotropin release factor receptor and drugs containing these compounds as the active ingredient
 INVENTOR(S): Nakai, Hisao; Kagamiishi, Yoshifumi
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 456 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2002053565 | A1 | 20020711 | WO 2001-JP11581 | 20011227 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2432148 | A1 | 20020711 | CA 2001-2432148 | 20011227 |
| AU 2002226674 | A1 | 20020716 | AU 2002-226674 | 20011227 |
| AU 2002226674 | B2 | 20070322 | | |
| EP 1354884 | A1 | 20031022 | EP 2001-995808 | 20011227 |
| EP 1354884 | B1 | 20071010 | | |
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| HU 2003003653 | A2 | 20040301 | HU 2003-3653 | 20011227 |
| HU 2003003653 | A3 | 20060529 | | |
| CN 1491225 | A | 20040421 | CN 2001-822720 | 20011227 |
| CN 1274690 | C | 20060913 | | |
| JP 3528968 | B2 | 20040524 | JP 2002-555088 | 20011227 |
| BR 2001016609 | A | 20050215 | BR 2001-16609 | 20011227 |
| NZ 526712 | A | 20050324 | NZ 2001-526712 | 20011227 |
| CN 1896076 | A | 20070117 | CN 2006-10106154 | 20011227 |
| RU 2291869 | C2 | 20070120 | RU 2003-119138 | 20011227 |
| EP 1832590 | A2 | 20070912 | EP 2007-111569 | 20011227 |
| EP 1832590 | A3 | 20071114 | | |
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| AT 375345 | T | 20071015 | AT 2001-995808 | 20011227 |
| ES 2294047 | T3 | 20080401 | ES 2001-995808 | 20011227 |
| NO 2003002956 | A | 20030828 | NO 2003-2956 | 20030626 |
| ZA 2003005003 | A | 20050527 | ZA 2003-5003 | 20030626 |
| MX 2003PA05913 | A | 20050419 | MX 2003-PA5913 | 20030627 |
| KR 843281 | B1 | 20080709 | KR 2003-708815 | 20030627 |
| US 20040072833 | A1 | 20040415 | US 2003-250328 | 20030630 |
| US 7034153 | B2 | 20060425 | | |
| JP 2004083597 | A | 20040318 | JP 2003-406938 | 20031205 |

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|--|----|----------|---|--|
| US 20060122392
PRIORITY APPLN. INFO.: | A1 | 20060608 | US 2005-219736
JP 2000-402517
CN 2001-822720
EP 2001-995808
JP 2002-555088
WO 2001-JP11581
US 2003-250328 | 20050907
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A3 20011227
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A1 20030630 |
|--|----|----------|---|--|

OTHER SOURCE(S): MARPAT 137:93768
GI



AB Tricyclic heterocyclic derivs. such as 6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 5,7-dihydrofuro[3,4-d]pyrazolo[1,5-a]pyrimidine, and 6,7-dihydro-5H-cyclopenta[e]pyrrolo[2,3-b]pyridine derivs. represented by the following general formula (I) and pharmaceutically acceptable salts thereof [wherein X, Y = C or N, provided that both X and Y are not simultaneously N; W = C, N; U, Z = (un)substituted CH or NH, N, O, S, CO, C(:S); ring A = optionally substituted C4-6 carbocyclic ring or 4 to 5-membered heterocyclic ring possessing at least one of N, O, and S atom; R1 = (un)substituted C1-8 alkyl, C2-8 alkynyl, C2-8 alkenyl, NH₂, or OH, SH, S(O)nR₇, etc. (wherein n = 0-2; R₇ = C1-8 alkyl, optionally substituted C3-10 bicyclic carbocyclyl, 3- to 10-membered ring bicyclic heterocyclyl, mono or bicyclic heterocyclyl-C1-4 alkyl, mono or bicyclic heterocyclyl-C1-4 alkyl, etc.); R3 = 5 to 10-membered mono or bicyclic heterocyclyl containing 1-4 N, 1 or 2 O and/or 1 or 2 O S atoms substituted by 1-5 groups selected from C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, halo, etc.] or pharmacol. acceptable salts thereof or hydrates thereof are prepared. Because of having a corticotropin release factor (CRF) receptor antagonism, the compds. I are useful in preventing and/or treating diseases caused by unusual secretion of corticotropin release factor, including depression (single episode, recurrent, post-delivery, or child abuse-induced depression), anxiety, anxiety disorders (panic disorder, specific phobia, acrophobia, social phobia, or obsessive-compulsive disorder), emotional disorder, bipolar disorder, post-traumatic stress, digestive ulcer, diarrhea, constipation, irritable bowel syndrome, inflammatory bowel diseases (ulcerous colitis or Crohn's disease), gastrointestinal function disorder accompanied by stress, neurol. vomiting, eating disorder [neurol. anorexia (anorexia nervosa) or overeating], obesity, stress-induced sleep disorder, fibromuscular pain-induced sleep disorder, stress-induced immunosuppression, stress-induced headache, stress-induced fever, stress-induced pain, operation invasion stress, chronic articular rheumatism, osteoarthritis, osteoporosis, psoriasis, and thyroid gland malfunction syndrome. The above diseases also include uveitis, asthma, diseases based on inappropriate antidiarrheic hormone, pain, inflammation, allergy, head trauma, spinal cord injury, ischemic neuron damage, Cushing's disease,

seizure (attack), spasm, muscle spasm, epileptic ischemia, Parkinson's disease, Huntington's disease, urinary incontinence, Alzheimer's disease, Alzheimer-type senile dementia, multi-infarction dementia, amyotrophic lateral sclerosis, hypoglycemia, cardiovascular or cardiac diseases (hypertension, tachycardia, or ischemic heart failure), and alc. or drug withdrawal. Thus, a mixture of 150 mg 8-chloro-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine and 0.60 mL 3-pentylamine was heated at 140° for 1 h to give 8-(3-pentylamino)-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine (II). The compds. I inhibited the binding of ¹²⁵I-CRF to human CRF receptor with IC₅₀ of <1 μM. A tablet and an ampule formulation containing II were prepared

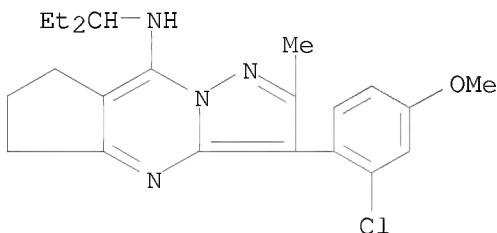
IT 441057-63-2P 441060-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heterocyclic derivative compds. as antagonists of corticotropin release factor receptor and drugs containing them as active ingredient)

RN 441057-63-2 CAPPLUS

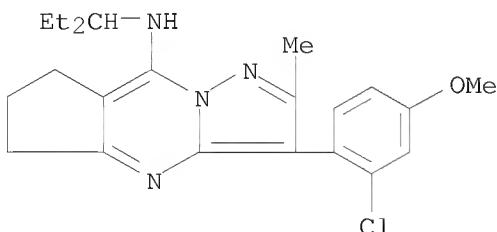
CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine,
3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 441060-02-2 CAPPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine,
3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (CA INDEX NAME)



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=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 16.83 | 195.40 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -2.40 | -2.40 |

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